

L5 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:43013 CAPLUS

DOCUMENT NUMBER: 138:73001

TITLE: Preparation of pyruvate derivatives for treating conditions characterized by oxidative stress

INVENTOR(S): Wang, Bing; Miller, Guy; Flaim, Stephen F.; Del Balzo, Ughetta; Zhang, Wei; Janagani, Satyanarayana; Song, Jiangao

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 56 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030013656	A1	20030116	US 2002-138726	20020503 <--
US 20030100750	A1	20030529	US 2002-138032	20020503 <--
US 6608196	B2	20030819		
AT 408593	T	20081015	AT 2002-769325	20020503
PRIORITY APPLN. INFO.:			US 2001-288649P	P 20010503
			US 2001-295314P	P 20010601
			US 2002-368456P	P 20020323

OTHER SOURCE(S): MARPAT 138:73001

AB Pyruvate derivs. A-X-CH₂C(:W)CO-Z and A-X-CH:C(W)CO-Z [A = (un)substituted (cyclo)alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, heterocycloalkyl, nucleoside, amino acid, di-, tri- or tetrapeptide, CH₂COC(O)R', or CH:C(OH)CO₂R', where R' = H, (un)substituted (cyclo)alkyl or aryl; X = NR', S, SO, SO₂, S-Y-S [Y = (un)substituted aryl, heteroaryl, nucleoside, amino acid, di, tri- or tetrapeptide], or a covalent bond to the sulfur atom of Cys or to the nitrogen atom of optionally substituted heterocyclyl; W = :O, :NORa, :NNRbRc, or N(OH)Rd, where Ra = H, (un)substituted alkyl, aryl, aralkyl, or alkenyl; Rb = H, (un)substituted (cyclo)alkyl, aryl, or aralkyl; Rc = H or (un)substituted alkyl; or RbRcN = 5- to 7-membered heterocyclyl; Rd = H, acyl, or (un)substituted alkyl; Z = OR, SR, or NRbRc, where R = (un)substituted (cyclo)alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, or heterocycloalkyl] or their pharmaceutically-acceptable salts were prepared for treating a number of conditions characterized by oxidative stress. Certain known and novel pyruvate derivs. are particularly active in restoring or preserving metabolic integrity in oxidatively competent cells that have been subjected to oxygen deprivation. Thus, 2-amino-4-[1-(carboxymethylcarbonyl)-2-[2-oxo-2-(pentylloxycarbonyl)ethylsulfanyl]ethylcarbonyl]butyric acid (claimed compound) was prepared from 3-bromopyruvic acid, pentanol, and glutathione.

IT 1063712-20-8

RL: PRPH (Prophetic)

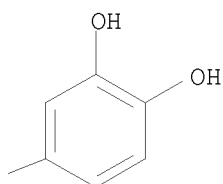
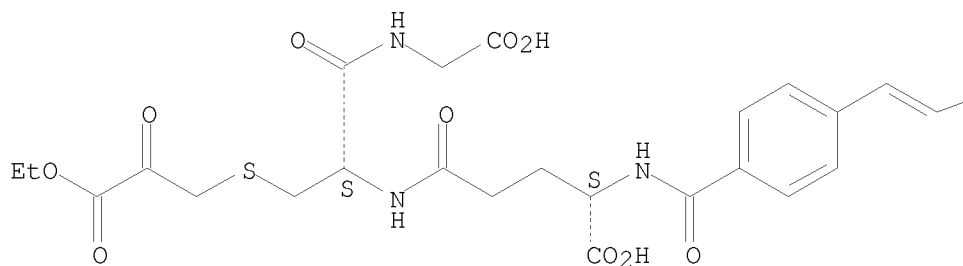
(Preparation of pyruvate derivatives for treating conditions characterized by oxidative stress)

RN 1063712-20-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

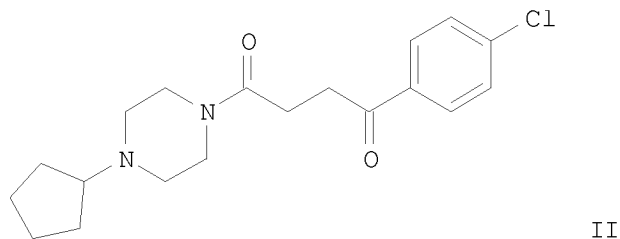
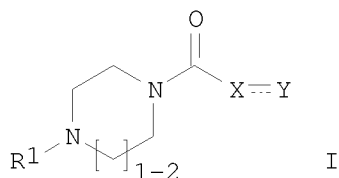
Double bond geometry unknown.



L5 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2003:42258 CAPLUS
 DOCUMENT NUMBER: 138:106714
 TITLE: Preparation of substituted piperazines and diazepanes as histamine H3 receptor agonists
 INVENTOR(S): Doerwald, Florencio Zaragoza; Andersen, Knud Erik; Sorensen, Jan Lindy
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Boehringer Ingelheim International G.m.b.H.
 SOURCE: PCT Int. Appl., 182 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003004480	A2	20030116	WO 2002-DK438	20020627 <--
WO 2003004480	A3	20040325		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002344951	A1	20030121	AU 2002-344951	20020627 <--
US 20040019039	A1	20040129	US 2002-185861	20020627
US 7208497	B2	20070424		
EP 1421071	A2	20040526	EP 2002-742851	20020627

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2005502623 T 20050127 JP 2003-510647 20020627
 US 20080113968 A1 20080515 US 2007-784967 20070410
 PRIORITY APPLN. INFO.: DK 2001-1046 A 20010702
 DK 2001-1878 A 20011214
 US 2001-304371P P 20010710
 US 2001-342871P P 20011217
 US 2002-185861 A1 20020627
 WO 2002-DK438 W 20020627
 OTHER SOURCE(S): MARPAT 138:106714
 GI

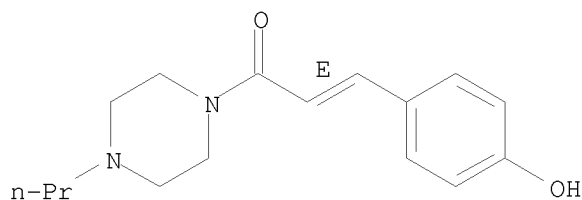


AB The title compds. [I; R1 = alkyl, alkenyl, cycloalkyl, etc.; X = (CH2)mZn(CR2R3)o(CH2)pVq (wherein m, p = 0-4; n, o, q = 0-1; Z, V = O, NH, CO, etc.; R2, R3 = H, alkyl, OH); Y = (un)substituted (hetero)aryl, cycloalkyl, cycloalkenyl; with the provisos], useful in the treatment of diseases and disorders related to overweight or **obesity** such as eating disorders, **diabetes** and impaired **glucose tolerance** (IGT), were prepared and formulated. Thus, amidation of 3-(4-chlorobenzoyl)-3-oxopropionic acid with 1-cyclopentylpiperazine afforded 88% II.HCl.

IT **1064457-86-8**
 RL: PRPH (Prophetic)
 (Preparation of substituted piperazines and diazepanes as histamine H3 receptor agonists)

RN 1064457-86-8 CAPLUS
 CN 2-Propen-1-one, 3-(4-hydroxyphenyl)-1-(4-propyl-1-piperazinyl)-, (2E)-
 (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>
<-----User Break----->

=>
<-----User Break----->

=> d ibib abs hitstr 10-11

L5 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:43013 CAPLUS

DOCUMENT NUMBER: 138:73001

TITLE: Preparation of pyruvate derivatives for treating conditions characterized by oxidative stress

INVENTOR(S): Wang, Bing; Miller, Guy; Flaim, Stephen F.; Del Balzo, Ughetta; Zhang, Wei; Janagani, Satyanarayana; Song, Jiangao

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 56 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030013656	A1	20030116	US 2002-138726	20020503 <--
US 20030100750	A1	20030529	US 2002-138032	20020503 <--
US 6608196	B2	20030819		
AT 408593	T	20081015	AT 2002-769325	20020503
PRIORITY APPLN. INFO.:			US 2001-288649P	P 20010503
			US 2001-295314P	P 20010601
			US 2002-368456P	P 20020323

OTHER SOURCE(S): MARPAT 138:73001

AB Pyruvate derivs. A-X-CH₂C(:W)CO-Z and A-X-CH:C(W)CO-Z [A = (un)substituted (cyclo)alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, heterocycloalkyl, nucleoside, amino acid, di-, tri- or tetrapeptide, CH₂COCO₂R', or CH:C(OH)CO₂R', where R' = H, (un)substituted (cyclo)alkyl or aryl; X = NR', S, SO, SO₂, S-Y-S [Y = (un)substituted aryl, heteroaryl, nucleoside, amino acid, di, tri- or tetrapeptide], or a covalent bond to the sulfur atom of Cys or to the nitrogen atom of optionally substituted heterocyclyl; W = :O, :NORa, :NNRbRc, or N(OH)Rd, where Ra = H, (un)substituted alkyl, aryl, aralkyl, or alkenyl; Rb = H, (un)substituted (cyclo)alkyl, aryl, or aralkyl; Rc = H or (un)substituted alkyl; or RbRcN = 5- to 7-membered heterocyclyl; Rd = H, acyl, or (un)substituted alkyl; Z = OR, SR, or NRbRc, where R = (un)substituted (cyclo)alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, or heterocycloalkyl] or their pharmaceutically-acceptable salts were prepared for treating a number of

conditions characterized by oxidative stress. Certain known and novel pyruvate derivs. are particularly active in restoring or preserving metabolic integrity in oxidatively competent cells that have been subjected to oxygen deprivation. Thus, 2-amino-4-[1-(carboxymethylcarbamoyl)-2-[2-oxo-2-(pentyloxycarbonyl)ethylsulfanyl]ethylcarbamoyl]butyric acid (claimed compound) was prepared from 3-bromopyruvic acid, pentanol, and glutathione.

IT **1063712-20-8**

RL: PRPH (Prophetic)

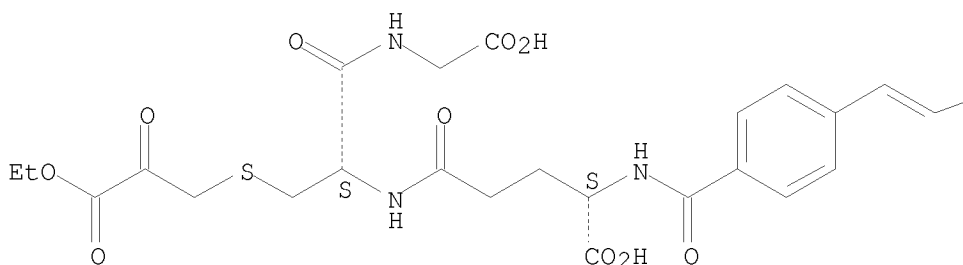
(Preparation of pyruvate derivatives for treating conditions characterized by oxidative stress)

RN 1063712-20-8 CAPLUS

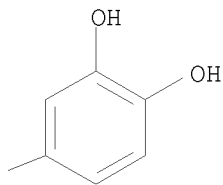
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



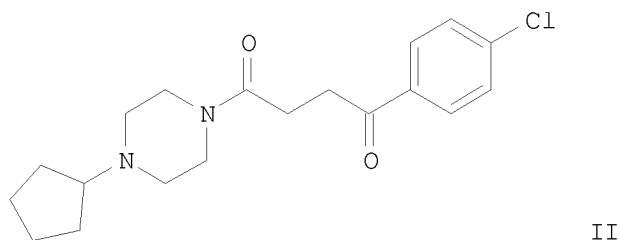
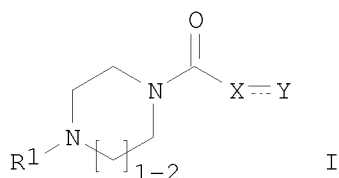
PAGE 1-B



L5 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2003:42258 CAPLUS
 DOCUMENT NUMBER: 138:106714
 TITLE: Preparation of substituted piperazines and diazepanes as histamine H3 receptor agonists
 INVENTOR(S): Doerwald, Florencio Zaragoza; Andersen, Knud Erik; Sorensen, Jan Lindy
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Boehringer Ingelheim International G.m.b.H.
 SOURCE: PCT Int. Appl., 182 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
------------	------	------	-----------------	------

-----	-----	-----	-----	-----
WO 2003004480	A2	20030116	WO 2002-DK438	20020627 <--
WO 2003004480	A3	20040325		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002344951	A1	20030121	AU 2002-344951	20020627 <--
US 20040019039	A1	20040129	US 2002-185861	20020627
US 7208497	B2	20070424		
EP 1421071	A2	20040526	EP 2002-742851	20020627
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2005502623	T	20050127	JP 2003-510647	20020627
US 20080113968	A1	20080515	US 2007-784967	20070410
PRIORITY APPLN. INFO.:			DK 2001-1046	A 20010702
			DK 2001-1878	A 20011214
			US 2001-304371P	P 20010710
			US 2001-342871P	P 20011217
			US 2002-185861	A1 20020627
			WO 2002-DK438	W 20020627
OTHER SOURCE(S):	MARPAT 138:106714			
GI				



AB The title compds. [I; R1 = alkyl, alkenyl, cycloalkyl, etc.; X = (CH2)mZn(CR2R3)o(CH2)pVq (wherein m, p = 0-4; n, o, q = 0-1; Z, V = O, NH, CO, etc.; R2, R3 = H, alkyl, OH); Y = (un)substituted (hetero)aryl, cycloalkyl, cycloalkenyl; with the provisos], useful in the treatment of diseases and disorders related to overweight or **obesity** such as eating disorders, **diabetes** and impaired **glucose tolerance** (IGT), were prepared and formulated. Thus, amidation of

3-(4-chlorobenzoyl)-3-oxopropionic acid with 1-cyclopentylpiperazine
afforded 88% II.HCl.

IT **1064457-86-8**

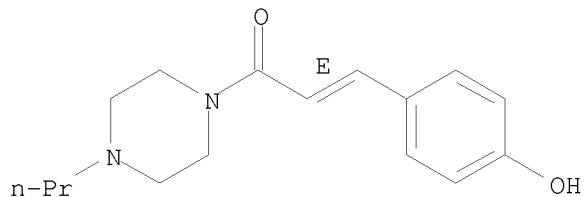
RL: PRPH (Prophetic)

(Preparation of substituted piperazines and diazepanes as histamine H3
receptor agonists)

RN 1064457-86-8 CAPLUS

CN 2-Propen-1-one, 3-(4-hydroxyphenyl)-1-(4-propyl-1-piperazinyl)-, (2E)-
(CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT